WE CLAIM:

A compound comprising the formula:

wherein:

X1A is a residue of a releasable biologically active moiety;

 R_1 and R_2 are individually selected from the group consisting of H, CH_3 , C_2 - C_{10} alkyls, C_2 - C_{10} alkynyls, each of which can be substituted or unsubstituted; straight or branched, C_2 - C_{10} heteroalkyls, C_2 - C_{10} heteroalkenyls or C_2 - C_{10} heteroalkynyls and $-(CR_{12}R_{10})_g$ -D;

wherein: R_{15} and R_{16} are individually selected from the group consisting of H, CH₃, C_2 - C_{10} alkyls, C_2 - C_{10} alkenyls or C_2 - C_{10} alkynyls, each of which can be substituted or unsubstituted; straight or branched; and C_2 - C_{10} heteroalkyls, C_2 - C_{10} heteroalkyls, C_2 - C_{10} heteroalkynyls; p is a positive integer from 1 to about 12;

D is selected from among -SH, -OH, X2, -CN, -OR19, NHR209

wherein:

R₁₇ is H, CH₃ or X₃;

R₁₈ is H, a C₁-C₄ alkyl or benzyl;

R₁₉ is H, a C₁₋₄ alkyl, X₂ or benzyl;

R20 is H, a C1-10 alkyl or -C(O)R21,

wherein R₂₁ is H, a C₁₋₄ alkyl or alkoxy, t-butoxy or benzyloxy;

X2 and X3 are independently selected halogens;

R₃ is H, CH₃, or -C(=O)(CR₁₅R₁₆)_w-D,

where w is 0 or an integer from 1 to about 12, and D is H or as described for R1 and R2

J is O, NH or S;

 R_4 , R_5 , and R_6 are independently selected from the group consisting of H, CH_3 , C_2 - C_{10} alkyls, C_2 - C_{10} alkenyls or C_2 - C_{10} alkynyls, each of which can be substituted or unsubstituted; straight or branched; C_2 - C_{10} heteroalkyls, heteroalkenyls or heteroalkynyls and halogens;

Z is H, NR₇R₈ or

wherein R_7 is selected from among H, CH_3 , C_2 - C_{10} alkyls, alkenyls or alkynyls which can be substituted or unsubstituted; straight or branched; C_2 - C_{10} heteroalkyls, heteroalkynyls, or $-(CR_{22}R_{23})_2$ -aryl, or R_9 ,

wherein R_{23} and R_{24} are independently selected from the group consisting of H and $C_1\text{-}C_{10}$ alkyls;

q is an integer from 1 to about 6;

R₈ is selected from the group consisting of (CR₉R₁₀)_n-NR₂₂-R₁₁,

(CR₉R₁₀)_n-CH₂-NHC(O)R₂₆ and (CR₉R₁₀)_n-CH₂-E;

wherein R_9 and R_{10} are independently selected from the group consisting of H, CH_3 , C_2 - C_{10} alkyls, C_2 - C_{10} alkenyls or C_2 - C_{10} alkynyls, each of which can be substituted or unsubstituted; straight or branched; C_2 - C_{10} heteroalkyls, C_2 - C_{10} heteroalkenyls or C_2 - C_{10} heteroalkynyls and halogens;

R26 is H, CH3, O-t-butyl, O-benzyl;

E is OH, SH or O-C(O)R27,

wherein R27 is a C1-C6 alkyl, benzyl or phenyl;

R₂₂ is H or CH₃;

n is a positive integer from 1 to about 10;

R₁₁ is H or -L-B,

wherein L is a linker; and

B is an active moiety, reactive group moiety or a polymer; and R_{25} is H, -C(O)- R_{28} or -C(O)-O- R_{29} ,

wherein R28 is a C1.C6 alkyl or benzyl; and R29 is CH3, t-butyl or benzyl.

The compound of claim 1, wherein X₁ is O, NH, or S.

- The compound of claim 2, wherein said residue of said biologically active moiety is selected from the group consisting of synthetic or naturally occurring organic compounds.
- The compound of claim 3 wherein said organic compounds are selected from the group consisting of chemotherapeutics, antibiotics, antivirals, antifungals, and diagnostics.
- 5. The compound of claim 4, wherein said chemotherapeutics are selected from the group consisting of taxanes, taxane derivatives, paclitaxel, paclitaxel derivatives, docetaxel, docetaxel derivatives, camptothecin, camptothecin derivatives, doxorubicin, doxorubicin derivatives, amethopterin, etoposide, irinotecan and fluconazole.
- The compound of claim 5, wherein said chemotherapeutic is paclitaxel.
- 7. The compound of claim 2, wherein said residue of said biologically active moiety is selected from the group consisting of proteins, polysaccharides, nucleic acids, cytokines, growth factors, antibodies, mABs, single chain antibodies (scFv), hormones and lipids.
- The compound of claim 1, wherein Z is NR₇R₈.
- The compound of claim 8, wherein R₈ is -CH₂-CH₂-NH₂.
- The compound of claim 8, wherein R₈ is (CR₉R₁₀)_n-NR₂₂-R₁₁.
- The compound of claim 1, wherein L-B comprises a maleimidyl or an N-hydroxysuccinimidyl group.
- 12 The compound of claim 10, wherein R_{11} comprises a polyalkylene oxide residue.
- The compound of claim 12, wherein said polyalkylene oxide residue is a polyethylene glycol.
- 14. The compound of claim 13, wherein said polyethylene glycol has a number average molecular weight of from about 2,000 to about 200,000 daltons.
- 15. The compound of claim 10, wherein R₁₁ comprises a polymer selected from the group consisting of collagen, glycosaminoglycan, poly(-aspartic acid), poly(-L-lysine) poly(-lactic acid), copolymers of poly(-lactic acid) and poly(-glycolic acid) and poly-N-vinylpyrrolidone.

A compound of claim 1, selected from the group consisting of:

wherein d is a positive integer and R_{30} is H, tBoc, fMoc or a blocking group.

and
$$O - (CH_2)_d - O + OH$$

wherein d is a positive integer and R₃₀ is H, tBoc, fMoc or a blocking group.

18. A compound of claim 1, selected from the group consisting of:

wherein X_1A is a residue of a releasable biologically active moiety; and R_{30} is H, tBoc, fMoc or a blocking group.

A compound of claim 1, selected from the group consisting of:

wherein X_iA is a residue of a releasable biologically active moiety; and R_{30} is H, tBoc, fMoc or a blocking group.

20. A compound of claim 19, selected from the group consisting of:

wherein R_{30} is H, tBoc, fMoc or a blocking group.

21. A compound of claim 19, wherein $X_i A$ is selected from the group consisting of:

and

where * represents the point of attachment.

22. A compound of claim 19, selected from the group consisting of

- 23. The compound of claim 1, wherein J is O, R_2 is H, R_7 is CH_3CH_2 ; R_8 is $-(CR_9R_{10})_n=NR_{22}-R_{11}$, n is 2, and R_9 and R_{10} are both H.
- 24. The compound of claim 1, wherein R_1 , R_2 , R_3 , R_4 , R_5 , and R_6 are independently selected from the group consisting of H, CH_3 and CH_3CH_2 .
- 25. The compound of claim 1, wherein R_7 is CH_3CH_2 ; wherein R_8 is $-(CR_9R_{10})_n$ - NR_{22} - R_{11} , n is 2, and R_9 and R_{10} are both H.
- A pharmaceutically acceptable salt of the compound of claim 1.
- 27. A pharmaceutically acceptable salt of the compound of claim 20.
- 28. A pharmaceutically acceptable salt of the compound of claim 21.
- A method of treatment, comprising:

administering to a mammal in need of such treatment an effective amount of a compound of claim 1, where X_1A is a residue of a biologically active moiety.

- 30. The method of claim 29, further comprising exposing the compound of claim 1 to an energy source after administration to said mammal.
- 31. The method of claim 30, wherein the energy source is white light having a wavelength in the range from 340 to 700 nm.
- 32. The method of claim 31, wherein the energy source is white light having a wavelength in the range from 350-420 nm.
- 33. The method of claim 30, wherein the energy source is selected from the group consisting of microwave, ultrasound, radio energy, gamma radiation, radioactivity, ultraviolet light and infrared light.
- 34. A method of preparing a conjugate, comprising: reacting a cinnamic acid derivative of the formula

$$\begin{matrix} R_4 & R_2 & Q \\ R_4 & R_5 & R_6 \end{matrix}$$

wherein

X4 is a reactive terminal group;

 R_1 and R_2 are individually selected from the group consisting of H, CH_3 , C_2 - C_{10} alkyls, C_2 - C_{10} alkenyls or C_2 - C_{10} alkynyls, each of which can be substituted or unsubstituted; straight or branched, C_2 - C_{10} heteroalkyls, C_2 - C_{10} heteroalkenyls or C_2 - C_{10} heteroalkyls, C_2 - C_2 - C_2 - C_2 - C_3 - C_3 - C_2 - C_3 - C_3 - C_3 - C_4 - C_3 - C_4 - C_4 - C_4 - C_5 -C

wherein: R_{15} and R_{16} are individually selected from the group consisting of H, CH_{3} , C_{2} - C_{10} alkyls, C_{2} - C_{10} alkenyls or C_{2} - C_{10} alkynyls, each of which can be substituted or unsubstituted; straight or branched; and C_{2} - C_{10} heteroalkyls, C_{2} - C_{10} heteroalkenyls or C_{2} - C_{10} heteroalkynyls; p is a positive integer from 1 to about 12;

D is selected from among -SH, -OH, X2, -CN, -OR19, NHR20,

$$\bigcap_{N} \bigcap_{N} \bigcap_{N$$

R₁₇ is H, a CH₃ or X₃;

R₁₈ is H, a C₁-C₄ alkyl or benzyl;

R₁₉ is H, a C₁₋₄ alkyl, X₂ or benzyl;

 R_{20} is H, a C_{1-10} alkyl or -C(O) R_{21} ,

wherein R₂₁ is H, a C₁₋₄ alkyl or alkoxy, t-butoxy or benzyloxy;

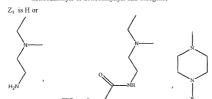
X2 and X3 are independently selected halogens;

 R_3 is H, CH₃, or $-C(=O)(CR_{15}R_{16})_wD$,

where w is 0 or an integer from 1 to about 12, and D is H or as described for R_1 and R_2

J is O, NH or S;

 R_4 , R_5 , and R_6 are independently selected from the group consisting of H, CH_5 , C_2 - C_{10} alkyls, C_2 - C_{10} alkenyls or C_2 - C_{10} alkynyls, each of which can be substituted or unsubstituted; straight or branched; C_2 - C_{10} heteroalkyls, heteroalkynyls and halogens;



wherein

R₃₀ 1s H, tBoc, fMoc or a blocking group;

with a biologically active moiety under conditions sufficient to cause covalent attachment of said biologically active moiety to said cinnamic acid derivative.